

Y is CR⁵ or N;

A is CH, CR⁴ or N;

n is 0, 1, 2, 3 or 4;

Q is -NR¹R² or when Y is CR⁵ then Q may also be hydrogen;

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R¹ and R² are each independently selected from hydrogen, hydroxy, C₁₋₁₂alkyl, C₁₋₁₂alkyloxy, C₁₋₁₂alkylcarbonyl, C₁₋₁₂alkyloxycarbonyl, aryl, amino, mono- or di(C₁₋₁₂alkyl)amino, mono- or di(C₁₋₁₂alkyl)aminocarbonyl wherein each of the aforementioned C₁₋₁₂alkyl groups may optionally and each individually be substituted with one or two substituents each independently selected from hydroxy, C₁₋₆alkyloxy, hydroxyC₁₋₆alkyloxy, carboxyl, C₁₋₆alkyloxycarbonyl, cyano, amino, imino, aminocarbonyl, aminocarbonylamino, mono- or di(C₁₋₆alkyl)amino, aryl and Het; or R¹ and R² taken together may form pyrrolidinyl, piperidinyl, morpholinyl, azido or mono- or di(C₁₋₁₂alkyl)aminoC₁₋₄alkylidene;

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R³ is hydrogen, aryl, C₁₋₆alkylcarbonyl, C₁₋₆alkyl, C₁₋₆alkyloxycarbonyl, C₁₋₆alkyl substituted with C₁₋₆alkyloxycarbonyl;

each R⁴ independently is hydroxy, halo, C₁₋₆alkyl, C₁₋₆alkyloxy, cyano, aminocarbonyl, nitro, amino, trihalomethyl, trihalomethoxy, or when Y is CR⁵ then R⁴ may also represent C₁₋₆alkyl substituted with cyano or aminocarbonyl;

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R⁵ is hydrogen or C₁₋₄alkyl;

L is -X¹-R⁶ or -X²-Alk-R⁷, wherein

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R⁶ and R⁷ each independently are phenyl or phenyl substituted with one, two, three, four or five substituents each independently selected from halo, hydroxy, C₁₋₆alkyl, C₁₋₆alkyloxy, C₁₋₆alkylcarbonyl, C₁₋₆alkyloxycarbonyl, formyl, cyano, nitro, amino, and trifluoromethyl; or when Y is CR⁵ then R⁶ and R⁷ may also be selected from phenyl substituted with one, two, three, four or five substituents each independently selected from aminocarbonyl, trihalomethoxy and trihalomethyl; or when Y is N then R⁶ and R⁷ may also be selected

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*A /
CMT*

from indanyl or indolyl, each of said indanyl or indolyl may be substituted with one, two, three, four or five substituents each independently selected from halo, hydroxy, C₁₋₆alkyl, C₁₋₆alkyloxy, C₁₋₆alkylcarbonyl, C₁₋₆alkyloxycarbonyl, formyl, cyano, nitro, amino, and trifluoromethyl;

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*Al
Cmt*

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X¹ and X² are each independently -NR³-, -NH-NH-, -N=N-, -O-, -S-, -S(=O)- or -S(=O)₂;

Alk is C₁₋₄alkanediyl; or

when Y is CR⁵ then L may also be selected from C₁₋₁₀alkyl, C₃₋₁₀alkenyl, C₃₋₁₀alkynyl, C₃₋₇cycloalkyl, or C₁₋₁₀alkyl substituted with one or two substituents independently selected from C₃₋₇cycloalkyl, indanyl, indolyl and phenyl, wherein said phenyl, indanyl and indolyl may be substituted with one, two, three, four or where possible five substituents each independently selected from halo, hydroxy, C₁₋₆alkyl, C₁₋₆alkyloxy, cyano, aminocarbonyl, C₁₋₆alkyloxycarbonyl, formyl, nitro, amino, trihalomethyl, trihalomethoxy and C₁₋₆alkylcarbonyl;

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aryl is phenyl or phenyl substituted with one, two, three, four or five substituents each independently selected from halo, C₁₋₆alkyl, C₁₋₆alkyloxy, cyano, nitro and trifluoromethyl; and

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(b) one or more pharmaceutically acceptable water-soluble polymers.

2. (amended) A particle according to claim 1, 25 or 26 having a particle size of less than 1500 μ m.

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3. (amended) A particle according to claim 1, 25 or 26, wherein said compound (a) is in a non-crystalline phase.

4. (amended) A particle according to claim 1, 25 or 26, wherein the solid dispersion is in the form of a solid solution comprising said compound (a) and said polymer (b).

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5. (amended) A particle consisting of a solid dispersion, comprising:

(a) a compound selected from the group consisting of
4-[[4-[(2,4,6-trimethylphenyl)amino]-2-pyrimidinyl]amino]benzonitrile 4-[[4-amino-5-bromo-6-(4-cyano-2,6-dimethylphenoxy)-2-pyrimidinyl]amino]-benzonitrile, 4-[[4-amino-5-chloro-6-[(2,4,6-trimethylphenyl)amino]-2-pyrimidinyl]amino]benzonitrile, 4-[[5-chloro-4-[(2,4,6-trimethylphenyl)amino]-2-pyrimidinyl]amino]benzonitrile, (4-[[5-bromo-4-(4-cyano-2,6-dimethylphenoxy)-2-pyrimidinyl]amino]benzonitrile, (4-[[4-amino-5-chloro-6-[(4-cyano-2,6-dimethylphenyl)amino]-2-pyrimidinyl]amino]-benzonitrile, (4-[[5-bromo-6-[(4-cyano-2,6-dimethylphenyl)amino]-2-pyrimidinyl]amino]benzonitrile, (4-[[4-amino-5-chloro-6-(4-cyano-2,6-dimethylphenoxy)-2-pyrimidinyl]amino]benzonitrile, (4-[[2-[(cyanophenyl)amino]-4-pyrimidinyl]amino]-3,5-dimethylbenzonitrile, and 4-[[4-[(2,4,6-trimethylphenyl)amino]-1,3,5-triazin-2-yl]amino]benzonitrile; and
(b) one or more pharmaceutically acceptable water-soluble polymers.

15 6. (amended) A particle according to claim 1, wherein said compound (a) is 4-[[4-[(2,4,6-trimethylphenyl)amino]-2-pyrimidinyl]amino]benzonitrile.

20 7. (amended) A particle according to claim 1, 25 or 26, wherein said water-soluble polymer is a polymer that has an apparent viscosity of 1 to 5000 mPa·s when dissolved at 20°C in an aqueous solution at 2% (w/v).

8. (amended) A particle according to claim 7, wherein the water-soluble polymer is a polymer selected from the group consisting of:

25 alkylcelluloses,
hydroxyalkylcelluloses,
hydroxyalkyl alkylcelluloses,
carboxyalkylcelluloses,
alkali metal salts of carboxyalkylcelluloses,
30 carboxyalkylalkylcelluloses,
carboxyalkylcellulose esters,
starches,

pectines,
chitin derivatives,
di-, oligo- or polysaccharides,
polyacrylic acids and the salts thereof,
polymethacrylic acids, the salts and esters thereof, methacrylate copolymers,
polyvinylalcohol, and
polyalkylene oxides.

9. (amended) A particle according to claim 8, wherein said water-soluble polymer is hydroxypropyl methylcellulose.

10. (amended) A particle according to claim 9, wherein the weight ratio of (a):(b) is in the range of 1:1 to 1:899.

15 11. CANCELLED

12. (amended) A particle according to claim 1, 25 or 26 consisting of a solid solution, comprising:

(a) two parts by weight of said compound (a); and

(b) three parts by weight of hydroxypropyl methylcellulose.

13. (amended) A particle according to claim 1, 25 or 26, further comprising one or more pharmaceutically acceptable excipients.

25 14. (amended) A pharmaceutical dosage form, comprising a therapeutically effective amount
of particles as claimed in claim 1, 25 or 26.

15. (amended) A pharmaceutical dosage form according to claim 14, wherein said form is shaped as a tablet suitable for oral administration.

16. (amended) A pharmaceutical dosage form according to claim 15, wherein said particles are homogeneously distributed throughout a mixture of a diluent and a disintegrant for immediate release of said compound.

5 17. (amended) A pharmaceutical dosage form according to claim 15, wherein said tablet is surrounded by a film-coat comprising a film-forming polymer, a plasticizer and optionally a pigment.

10 18. (amended) A pharmaceutical dosage form according to claim 16, wherein said diluent is a spray-dried mixture comprising:

15 (a) 25% by weight of lactose monohydrate; and
(b) 75% by weight of microcrystalline cellulose; wherein said disintegrant is selected from the group consisting of crospovidone and croscarmellose.

19. (amended) A pharmaceutical dosage form according to claim 14, wherein said therapeutically effective amount is at least 40 % of the total weight of said pharmaceutical dosage form.

20 20. (amended) A process of preparing particles as claimed in claim 1, 25 or 26, comprising the steps of:

25 (1) blending said compound (a) and said polymer (b) to form a blend;
(2) extruding said blend at a temperature in the range of 20-300°C to form an extrudate;
(3) grinding said extrudate to form particles; and
(4) optionally, sieving said particles.

21. (amended) A process of preparing a pharmaceutical dosage form as claimed in claim 14, comprising the steps of:

30 (1) blending said therapeutically effective amount of particles with pharmaceutically acceptable excipients; and
(2) compressing said blend into tablets.

22. (amended) A method of treating a mammal suffering from a viral infection, comprising the steps of:

- (1) preparing a pharmaceutical dosage form of said particles according to claim 1, 25 or 26;
- (2) administering a single dose of said pharmaceutical dosage form once daily to said mammal.

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24. A pharmaceutical package suitable for commercial sale, comprising:

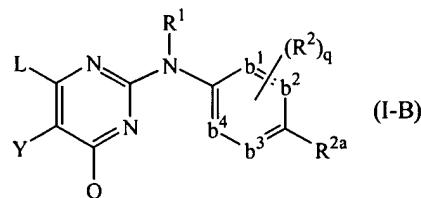
- (a) a container;
- (b) written matter on said container;
- (c) said pharmaceutical dosage form as claimed in claim 14;
wherein said written matter is associated with said pharmaceutical dosage form.

Please add the following new claims:

20

20 25. A particle consisting of a solid dispersion, comprising:

(a) a compound of formula



the *N*-oxides, the pharmaceutically acceptable addition salts, quaternary amines and the stereochemically isomeric forms thereof.

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wherein

$-b^1 = b^2 - C(R^{2a}) = b^3 - b^4$ represents a bivalent radical of formula

$$-\text{CH}=\text{CH}-\text{C}(\text{R}^{2a})=\text{CH}-\text{CH}=\quad (\text{b-1});$$

$$-\text{N}=\text{CH}-\text{C}(\text{R}^{2a})=\text{CH}-\text{CH}= \quad \quad \quad (b-2);$$

- CH=N-C(R^{2a})=CH-CH= (b-3);
- N=CH-C(R^{2a})=N-CH= (b-4);
- N=CH-C(R^{2a})=CH-N= (b-5);
- CH=N-C(R^{2a})=N-CH= (b-6);
- N=N-C(R^{2a})=CH-CH= (b-7);

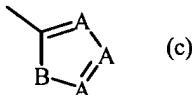
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q is 0, 1, 2; or where possible q may also be 3 or 4;

R^1 is hydrogen, aryl, formyl, C_{1-6} alkylcarbonyl, C_{1-6} alkyl, C_{1-6} alkyloxycarbonyl, C_{1-6} alkyl substituted with formyl, C_{1-6} alkylcarbonyl, C_{1-6} alkyloxycarbonyl;

10 R^{2a} is cyano, aminocarbonyl, mono- or di(methyl)aminocarbonyl, C₁₋₆alkyl substituted with cyano, aminocarbonyl or mono- or di(methyl)aminocarbonyl, C₂₋₆alkenyl substituted with cyano, or C₂₋₆ alkynyl substituted with cyano;

each R^2 independently is hydroxy, halo, C_{1-6} alkyl optionally substituted with cyano or $-C(=O)R^6$, C_{3-7} cycloalkyl, C_{2-6} alkenyl optionally substituted with one or more halogen atoms or cyano, C_{2-6} alkynyl optionally substituted with one or more halogen atoms or cyano, C_{1-6} alkyloxy, C_{1-6} alkyloxycarbonyl, carboxyl, cyano, nitro, amino, mono- or di(C_{1-6} alkyl)amino, polyhalomethyl, polyhalomethoxy, polyhalomethylthio, $-S(=O)_pR^6$, $-NH-S(=O)_pR^6$, $-C(=O)R^6$, $-NHC(=O)H$, $-C(=O)NHNH_2$, $-NHC(=O)R^6$, $-C(=NH)R^6$ or a radical of formula



wherein

each A independently is N, CH or CR⁶;

25 B is NH, O, S or NR⁶;

p is 1 or 2; and

R^6 is methyl, amino, mono- or dimethylamino or polyhalomethyl;

L is C₁₋₁₀alkyl, C₂₋₁₀alkenyl, C₂₋₁₀alkynyl, C₃₋₇cycloalkyl, whereby each of said aliphatic group may be substituted with one or two substituents independently selected from

C₃₋₇cycloalkyl,

indolyl or isoindolyl, each optionally substituted with one, two, three or four substituents each independently selected from halo, C₁₋₆alkyl, hydroxy, C₁₋₆alkyloxy, cyano, aminocarbonyl, nitro, amino, polyhalomethyl, polyhalomethyloxy and C₁₋₆alkylcarbonyl,

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phenyl, pyridinyl, pyrimidinyl, pyrazinyl or pyridazinyl, wherein each of said aromatic rings may optionally be substituted with one, two, three, four or five substituents each independently selected from the substituents defined in R^2 ; or

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L is -X-R³ wherein

R^3 is phenyl, pyridinyl, pyrimidinyl, pyrazinyl or pyridazinyl, wherein each of said aromatic rings may optionally be substituted with one, two, three, four or five substituents each independently selected from the substituents defined in R^2 ; and

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X is -NR¹-, -NH-NH-, -N=N-, -O-, -C(=O)-, -CHOH, -S-, -S(=O)- or -S(=O)₂;

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Q is hydrogen, C₁₋₆alkyl, halo, polyhaloC₁₋₆alkyl or -NR⁴R⁵; and

R⁴ and R⁵ are each independently selected from hydrogen, hydroxy, C₁₋₁₂alkyl, C₁₋₁₂alkyloxy, C₁₋₁₂alkylcarbonyl, C₁₋₁₂alkyloxycarbonyl, aryl, amino, mono- or di(C₁₋₁₂alkyl)amino, mono- or di(C₁₋₁₂alkyl)aminocarbonyl, wherein each of the aforementioned C₁₋₁₂alkyl groups may optionally and each individually be substituted with one or two substituents each independently selected from hydroxy, C₁₋₆alkyloxy, hydroxyC₁₋₆alkyloxy, carboxyl, C₁₋₆alkyloxycarbonyl, cyano, amino, imino, mono- or di(C₁₋₆alkyl)amino, polyhalomethyl, polyhalomethyloxy, polyhalomethylthio, -S(=O)_pR⁶, -NH-S(=O)_pR⁶, -C(=O)R⁶, -NHC(=O)H, -C(=O)NHNH₂, -NHC(=O)R⁶, -C(=NH)R⁶, aryl and Het; or

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polyhalomethyl, polyhalomethyloxy, polyhalomethylthio, $-\text{S}(=\text{O})_p\text{R}^6$, $-\text{NH-S}(=\text{O})_p\text{R}^6$, $-\text{C}(=\text{O})\text{R}^6$, $-\text{NHC}(=\text{O})\text{H}$, $-\text{C}(=\text{O})\text{NHNH}_2$, $-\text{NHC}(=\text{O})\text{R}^6$, $-\text{C}(=\text{NH})\text{R}^6$, aryl and Het; or

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Y represents hydroxy, halo, C₃₋₇cycloalkyl, C₂₋₆alkenyl optionally substituted with one or more halogen atoms, C₂₋₆alkynyl optionally substituted

with one or more halogen atoms, C_{1-6} alkyl substituted with cyano or $-C(=O)R^6$, C_{1-6} alkyloxy, C_{1-6} alkyloxycarbonyl, carboxyl, cyano, nitro, amino, mono- or di(C_{1-6} alkyl)amino, polyhalomethyl, polyhalomethoxy, polyhalomethylthio, $-S(=O)_pR^6$, $-NH-S(=O)_pR^6$, $-C(=O)R^6$, $-NHC(=O)H$, $-C(=O)NHNH_2$, $-NHC(=O)R^6$, $-C(=NH)R^6$ or aryl;

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*P4
Cont*
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aryl is phenyl or phenyl substituted with one, two, three, four or five substituents each independently selected from halo, C_{1-6} alkyl, C_{3-7} cycloalkyl, C_{1-6} alkyloxy, cyano, nitro, polyhalo C_{1-6} alkyl and polyhalo C_{1-6} alkyloxy;

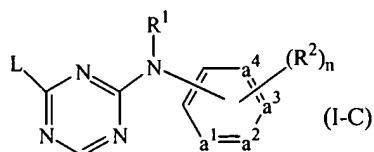
15 Het is an aliphatic or aromatic heterocyclic radical; said aliphatic heterocyclic radical is selected from pyrrolidinyl, piperidinyl, homopiperidinyl, piperazinyl, morpholinyl, tetrahydrofuranyl and tetrahydrothienyl wherein each of said aliphatic heterocyclic radical may optionally be substituted with an oxo group; and said aromatic heterocyclic radical is selected from pyrrolyl, furanyl, thienyl, pyridinyl, pyrimidinyl, pyrazinyl and pyridazinyl wherein each of said aromatic heterocyclic radical may optionally be substituted with hydroxy; and

20 (b) one or more pharmaceutically acceptable water-soluble polymers.

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26. A particle consisting of a solid dispersion, comprising

25 (a) a compound of formula



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the *N*-oxides, the pharmaceutically acceptable addition salts, quaternary amines and the stereochemically isomeric forms thereof,

wherein

$-a^1=a^2-a^3=a^4$ represents a bivalent radical of formula

$$-\text{CH}=\text{CH}-\text{CH}=\text{CH}- \quad (\text{a-1});$$

$$-\text{N}=\text{CH}-\text{CH}=\text{CH}- \quad (\text{a-2});$$

$$-\text{N}=\text{CH}-\text{N}=\text{CH}- \quad \quad \quad (\text{a-3});$$

$$-\text{N}=\text{CH}-\text{CH}=\text{N}- \quad (\text{a-4});$$

$$-\text{N}=\text{N}-\text{CH}=\text{CH}- \quad \quad \quad (\text{a-5});$$

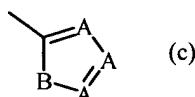
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n is 0, 1, 2, 3 or 4; and in case $a^1 = a^2 = a^3 = a^4$ - is (a-1), then n may also be

5.

R^1 is hydrogen, aryl, formyl, C_{1-6} alkylcarbonyl, C_{1-6} alkyl, C_{1-6} alkyloxycarbonyl, C_{1-6} alkyl substituted with formyl, C_{1-6} alkylcarbonyl, C_{1-6} alkyloxycarbonyl;

each R^2 independently is hydroxy, halo, C_{1-6} alkyl optionally substituted with cyano or $-C(=O)R^4$, C_{3-7} cycloalkyl, C_{2-6} alkenyl optionally substituted with one or more halogen atoms or cyano, C_{2-6} alkynyl optionally substituted with one or more halogen atoms or cyano, C_{1-6} alkyloxy, C_{1-6} alkyloxycarbonyl, carboxyl, cyano, nitro, amino, mono- or di(C_{1-6} alkyl)amino, polyhalomethyl, polyhalomethoxy, polyhalomethylthio, $-S(=O)_pR^4$, $-NH-S(=O)_pR^4$, $-C(=O)R^4$, $-NHC(=O)H$, $-C(=O)NHNH_2$, $-NHC(=O)R^4$, $-C(=NH)R^4$ or a radical of formula



wherein

each A independently is N, CH or CR⁴;

B is NH, O, S or NR⁴;

p is 1 or 2; and

R^4 is methyl, amino, mono- or dimethylamino or polyhalomethyl;

25 L is C₁₋₁₀alkyl, C₂₋₁₀alkenyl, C₂₋₁₀alkynyl, C₃₋₇cycloalkyl, whereby
each of said aliphatic group may be substituted with one or two substituents
independently selected from

C₃₋₇cycloalkyl;

indolyl or isoindolyl, each optionally substituted with one, two, three or four substituents each independently selected from halo, C₁₋₆alkyl, hydroxy,

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C₁₋₆alkyloxy, cyano, aminocarbonyl, nitro, amino, polyhalomethyl, polyhalomethoxy and C₁₋₆alkylcarbonyl,

5 phenyl, pyridinyl, pyrimidinyl, pyrazinyl or pyridazinyl, wherein each of said aromatic rings may optionally be substituted with one, two, three, four or five substituents each independently selected from the substituents defined in R²; or

L is -X-R³ wherein

10 R³ is phenyl, pyridinyl, pyrimidinyl, pyrazinyl or pyridazinyl, wherein each of said aromatic rings may optionally be substituted with one, two, three, four or five substituents each independently selected from the substituents defined in R²; and

15 X is -NR¹-, -NH-NH-, -N=N-, -O-, -C(=O)-, -CHOH-, -S-, -S(=O)- or -S(=O)₂;

20 aryl is phenyl or phenyl substituted with one, two, three, four or five substituents each independently selected from halo, C₁₋₆alkyl, C₃₋₇ cycloalkyl, C₁₋₆alkyloxy, cyano, nitro, polyhaloC₁₋₆alkyl and polyhaloC₁₋₆ alkyloxy;

25 with the proviso that compounds wherein

(i) L is C₁₋₃alkyl; R¹ is selected from hydrogen, ethyl and methyl; -a¹=a²-a³=a⁴- represents a bivalent radical of formula (a-1); n is 0 or 1 and R² is selected from fluoro, chloro, methyl, trifluoromethyl, ethyloxy and nitro;

(ii) L is -X-R³, X is -NH-; R¹ is hydrogen; -a¹=a²-a³=a⁴- represents a bivalent radical of formula (a-1); n is 0 or 1 and R² is selected from chloro, methyl, methyloxy, cyano, amino and nitro and R³ is phenyl, optionally substituted with one substituent selected from chloro, methyl, methyloxy, cyano, amino and nitro;

(iii) N,N'-dipyridinyl-(1,3,5)-triazine-2,4-diamine; and

(iv) (4-chloro-phenyl)-(4(1-(4-isobutyl-phenyl)-ethyl)-(1,3,5)triazin-2-yl)-amine

30 are not included; and

(b) one or more pharmaceutically acceptable water-soluble polymers.

27. A process of preparing a pharmaceutical dosage form as claimed in claim 14, comprising the steps of:

(a) blending a therapeutically effective amount of particles with pharmaceutically acceptable excipients to form a blend; and

5 (b) filling said blend into capsules.

28. A particle according to claim 4, further comprising a material selected from said compound (a) and said polymer (b);

10 wherein said material is dispersed in said solid solution to form a solid dispersion;

wherein said compound (a) is in a form selected from amorphous and microcrystalline; and

15 wherein said polymer (b) is in a form selected from amorphous and microcrystalline.

29. A particle produced by the process of claim 20.